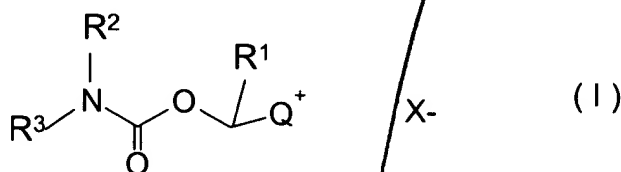


Amendment to the Claims:

- Sub
E1
1. (Currently amended) A compound of the formula (I),



wherein

Q is a ~~3H-imidazole or 1,2,4-triazole derivative with antifungal activity~~ 3-[4-(4-cyanophenyl)thiazol-2-yl)]-2-(2,5-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-butan-2-ol moiety which is linked to the remainder of the compound of formula (I) by a nitrogen in the ~~azole~~ triazole;

B1

R¹ is hydrogen or alkyl;

R² is hydrogen, alkyl, alkylcarbonyloxyalkyl, alkoxycarbonyl, alkylcarbonyl, mono- or dialkylaminoalkylcarbonyloxyalkyl;

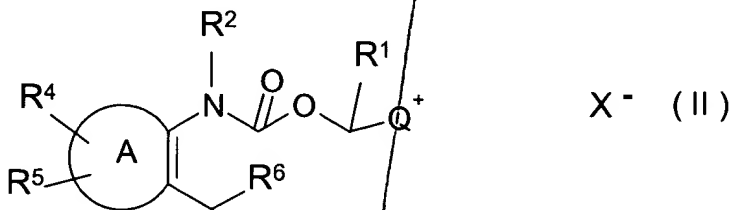
R³ is ~~alkylaminoalkyl, alkylcarbonyl, alkylcarbonyloxyalkyl, alkylaminoalkylcarbonyloxyalkyl, hydrogen, acylalkylaminoalkyl, alkyl, hydroxyalkyl, aminoalkyl, alkylcarbonylaminoalkyl, alkylcarbonylalkylaminoalkyl, alkoxycarbonylalkylaminoalkyl, alkoxycarbonylaminoalkyl, optionally substituted phenyl, optionally substituted pyridin-2-yl or substituted pyridin-2-yl optionally substituted 5- or 6-membered cycloalkyl, acylaminoalkyl, alkylaminoalkylacyloxyalkyl or the group (R², R³)N may form an optionally substituted pyrrolidine, pyrrolidone or piperidine; and~~

X⁻ is a pharmaceutically acceptable anion
wherein


when R³ is substituted pyridin-2-yl, the substituent is selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carboxy, alkyloxycarbonyl, cyano, trifluoromethyl, trifluormethoxy, nitro, aminosulfonyl, alkylaminocarbonyloxyalkyl, sulfo, alkylcarbonyloxyalkyl and aminoalkylcarbonyloxyalkyl; or a pharmaceutically acceptable salt thereof.

2. (Currently amended) Compounds of claim 1 wherein R³ is ~~alkylaminoalkyl, alkylcarbonyl, alkylcarbonyloxyalkyl, alkylaminoalkylcarbonyloxyalkyl, substituted phenyl, substituted pyridin-2-yl or substituted 5 or 6 membered cycloalkyl.~~


3. (Currently amended) Compounds of claim 2 having formula (II),



wherein

~~R¹, R², Q, and X are as defined in claim 1; group~~  ~~is phenyl or pyridin-2-yl;~~

R⁴ and R⁵ are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carboxy, alkyloxycarbonyl, cyano, trifluoromethyl, trifluormethoxy, nitro,

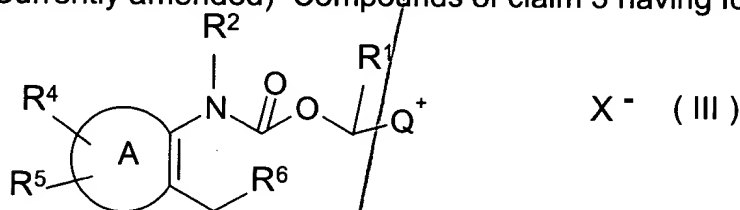
aminosulfonyl, alkylaminocarboxyloxyalkyl, and sulfo when group  is phenyl or pyridin-2-yl and are in addition, alkylcarbonyloxyalkyl or and

aminoalkylcarbonyloxyalkyl when group  is pyridin-2-yl and are in

addition aminoalkylcarbonyl or alkylaminoalkyl when group  is phenyl; and

D11
Cont
 R^6 is hydroxy, alkoxycarbonylalkylamino, alkoxycarbonylamino, amino, alkylamino, alkylcarbonyloxy, alkoxycarbonylalkylaminoalkylcarbonyloxy, alkoxycarbonylamino-alkylcarbonyloxy, alkylaminoalkylcarbonyloxy, aminoalkylcarbonyloxy, alkylcarbonylamino, alkylcarbonylalkylamino, acyloxy, acylamino, acylalkylamino.

4. (Currently amended) Compounds of claim 3 having formula (III),



wherein

R^4 , R^2 , Q , and X are as defined in claim 1;

R^4 and R^5 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carboxy, alkyloxycarbonyl, cyano, trifluoromethyl, trifluoromethoxy, nitro, aminosulfonyl or sulfo; and

D11
Cont

R^6 is hydroxy, alkoxycarbonylalkylamino, alkoxycarbonylamino, amino, alkylamino, alkylcarbonyloxy, alkoxycarbonylalkylaminoalkylcarbonyloxy, alkoxycarbonylamino-alkylcarbonyloxy, alkylaminoalkylcarbonyloxy, aminoalkylcarbonyloxy, alkylcarbonylamino, alkylcarbonylalkylamino, acyloxy, acylamino, acylalkylamino; and

group  is phenyl or pyridin-2-yl.

5. (Original) Compounds of claim 4 wherein R^4 and R^5 are independently selected from the group consisting of hydrogen, halogen, alkoxy, cyano, trifluoromethyl, trifluoromethoxy and nitro.

6. (Original) Compounds of claim 5 wherein R^4 and R^5 are independently selected from the group consisting of hydrogen, halogen and alkoxy.

7. (Original) Compounds of claim 4 wherein R^4 and R^5 are hydrogen.

8. (Original) Compounds of claim 4 wherein R^6 is alkylamino, alkylcarbonyloxy, alkylaminoalkylcarbonyloxy or aminoalkylcarbonyloxy.

9. (Original) Compounds of claim 8 wherein R^6 is alkylaminoalkylcarbonyloxy.

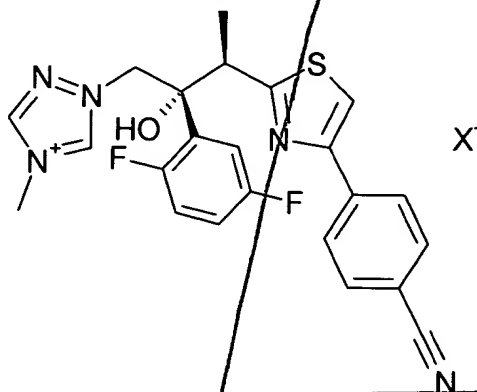
10. (Canceled) 

11. (Canceled) 

12. (Canceled) 

13. (Canceled) 

14. (Currently amended) Compounds of claim 13 1 wherein Q is



15. (Original) Compounds of claim 1 wherein R^1 is hydrogen or alkyl.
16. (Original) Compounds of claim 15 wherein R^1 is methyl.
17. (Original) Compounds of claim 1 wherein R^2 is hydrogen or alkyl.
18. (Original) Compounds of claim 17 wherein R^2 is alkyl.
19. (Canceled) ✓
20. (Canceled) ✓
21. (Canceled) ✓
22. (Original) Compounds of claim 1 wherein X is a halogen.
23. (Original) Compounds of claim 22 wherein X is chloro.
24. (Canceled) ✓
25. (Canceled) ✓

26. A compound ~~Compounds of claim 1~~ selected from the group consisting of
~~[[N-methyl-N-2-(acetoxymethyl)phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-~~
~~difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium~~
~~chloride,~~
~~1-[[N-methyl-N-2-(isopropylaminomethyl)phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-~~
~~difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium~~
~~chloride hydrochloride,~~
~~1-[[N-methyl-N-3-[(methylamino)acetoxymethyl]pyridin-2-yl]carbamoyloxy]ethyl-1-~~
~~[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-~~
~~[1,2,4]triazol-4-ium chloride dihydrochloride,~~
~~1-[[N-methyl-N-3-[(methylamino)acetoxymethyl]pyridin-2-yl]carbamoyloxy]ethyl-1-~~
~~[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-~~
~~[1,2,4]triazol-4-ium chloride hydrochloride,~~
~~1-[[N-ethyl-N-2-(ethylamino)ethyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-~~
~~2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride~~
~~hydrochloride,~~
~~[[N-methyl-N-phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-~~
~~3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride,~~
~~1-[[N-methyl-N-3-(acetoxymethyl)pyridin-2-yl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-~~
~~difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium~~
~~chloride hydrochloride,~~
~~1-[(N-acetyl-N-methyl)carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-~~
~~3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide,~~
~~[[2(S)-(acetoxymethyl)pyrrolidin-1-yl]carbonyloxy]methyl-1-[(2R,3R)-2-(2,5-~~
~~difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium~~
~~iodide,~~

~~[[N-methyl-N-2-(acetoxymethyl)phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide,~~

~~[[N-methyl-N-3-(acetoxymethyl)phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide,~~

~~[[N-2-(methyl)phenyl-N-2-(acetoxymethyl)carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide,~~

~~1-[[N-2-[(isopropylamino)methyl]phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-2-[(pentan-3-ylamino)methyl]phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-[(methylamino)methyl]phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~[[N-methyl-N-2-[(methylamino)acetoxymethyl]phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-[(methylamino)acetoxymethyl]phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-4,5-difluorophenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-4-fluoro-phenyl]carbamoyloxy]ethyl-1-
[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium iodide hydrochloride,~~
~~[[N-methyl-N-2-(methylamino)acetoxymethyl-4,5-dimethoxy-
phenyl]carbamoyloxy]methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-
cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide hydrochloride,~~
~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-5-fluoro-phenyl]carbamoyloxy]ethyl-1-
[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium chloride hydrochloride,~~
~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-6-methyl-phenyl]carbamoyloxy]ethyl-1-
[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium iodide hydrochloride,~~
~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-4-chloro-phenyl]carbamoyloxy]ethyl-1-
[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium iodide hydrochloride,~~
~~1-[[N-(methylamino)acetoxyethyl-N-2,4-difluorophenyl]carbamoyloxy]ethyl-1-[(2R,3R)-
2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium chloride hydrochloride,~~
~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-5-chloro-phenyl]carbamoyloxy]ethyl-1-
[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-
[1,2,4]triazol-4-ium iodide hydrochloride,~~
~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-5-nitro-phenyl]carbamoyloxy]ethyl-
1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-
yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

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Filed: October 31, 2000

~~[[5(S)-(methylamino)acethoxymethyl-2-pyrrolidon-1-yl]carbonyloxy] methyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide, hydrochloride~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-3-fluoro-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-5-cyano-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-3-chloro-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-4-cyano-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)acetoxymethyl-5-trifluoromethyl-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide hydrochloride,~~

~~1-[[N-methyl-N-2-(amino)acetoxymethyl-3-chloro-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-ethyl-N-2-(methylamino)acetoxymethyl-3-chloro-phenyl]carbamoxyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

1-[[N-methyl-N-3-[(amino)acetoxymethyl]pyridin-2-yl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-methyl-N-2-(methylamino)acetoxymethyl-3-methyl-phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide hydrochloride,

1-[[N-ethoxycarbonyl-N-2-(methylamino)acetoxymethyl-phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-pivaloyl-N-2-(methylamino)acetoxymethyl-phenyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-(methylamino)acetoxylethyl-N-pivaloyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-(methylamino)acetoxylethyl-N-ethoxycarbonyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-methyl-N-2-(methylamino)ethyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

1-[[N-methyl-N-3-(methylamino)propyl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,

~~1-[[3(S)-amino-pyrrolidin-1-yl]carbonyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[2(S)-aminomethyl-pyrrolidin-1-yl]carbonyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride,~~

~~1-[[N-methyl-N-2-(methylamino)-1,2-trans-cyclohexan-1-yl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium iodide hydrochloride.~~

D3 27. (Currently amended) A compound of ~~claim 26~~ which is 1-[[N-methyl-N-3-[(methylamino)acetoxymethyl]pyridin-2-yl]carbamoyloxy]ethyl-1-[(2R,3R)-2-(2,5-difluorophenyl)-2-hydroxy-3-[4-(4-cyanophenyl)thiazol-2-yl]butyl]-1H-[1,2,4]triazol-4-ium chloride hydrochloride.

28. (Canceled)

29. (Canceled)

D4 30. (Currently amended) An ~~pharmaceutical composition for use as an~~ antifungal, comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

31. (Original) A method of treating fungal infections comprising administering to the infected organism an effective amount of a compound of claim 1.